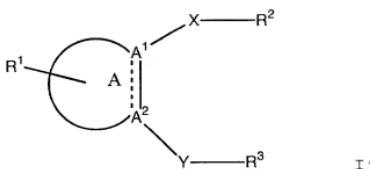


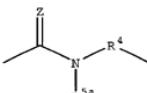
WHAT IS CLAIMED IS:

1. A compound of formula I'

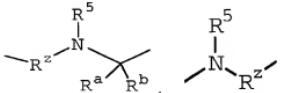


wherein each of A^1 and A^2 is independently C or N;
wherein A^1-A^2 form part of a ring A selected from 5- or 6-membered heteroaryl;

- 10 wherein X is ;
wherein Z is oxygen or sulfur;

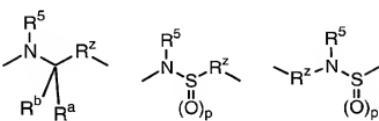
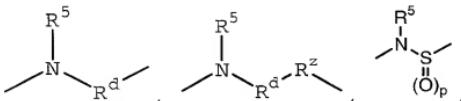
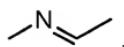


Y is selected from



15

wherein p is 0 to 2,



and

wherein R^a and R^b are independently selected from H, halo, cyano, -NHR⁶ and C₁₋₄-alkyl substituted with R¹, or wherein R^a and R^b together form C_{3-C₆} cycloalkyl;

wherein R² is selected from C_{2-C₆}-alkylenyl, where one of the

5 CH₂ groups may be replaced with an oxygen atom or an -NH-group; wherein one of the CH₂ groups may be substituted with one or two radicals selected from halo, cyano, -NHR⁶ and C₁₋₄-alkyl substituted with R¹;

wherein R^d is cycloalkyl;

10 wherein R¹ is one or more substituents independently selected from H, halo, -OR⁷, oxo, -SR⁷, -CO₂R⁷, -COR⁷, -CONR⁷R⁷, -NR⁷R⁷, -SO₂NR⁷R⁷, -NR⁷C(O)OR⁷, -NR⁷C(O)R⁷,

optionally substituted cycloalkyl, optionally substituted phenylalkyl, optionally substituted heterocyclyl,

15 optionally substituted heterocyclylalkyl, optionally substituted phenyl, lower alkyl, cyano, lower hydroxyalkyl, lower carboxyalkyl, nitro, lower alkenyl, lower alkynyl, lower aminoalkyl, lower alkylaminoalkyl and lower haloalkyl;

20 wherein R² is selected from

a) substituted or unsubstituted 6-10 membered aryl,

b) substituted or unsubstituted 5-6 membered heterocyclyl,

c) substituted or unsubstituted 9-14 membered bicyclic or 25 tricyclic heterocyclyl,

d) cycloalkyl, and

e) cycloalkenyl,

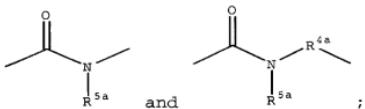
wherein substituted R² is substituted with one or more substituents independently selected from halo, -OR⁷,

30 oxo, -SR⁷, -CO₂R⁷, -CONR⁷R⁷, -COR⁷, -NR⁷R⁷, -NH(C_{1-C₄} alkylenyl)R⁹, -SO₂R⁷, -SO₂NR⁷R⁷, -NR⁷C(O)OR⁷, -NR⁷C(O)R⁷, -NR⁷C(O)NR⁷R⁷, optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted phenyl, halosulfonyl, cyano,

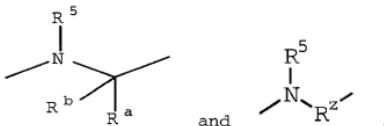
alkylaminoalkoxy, alkylaminoalkoxyalkoxy, nitro, lower alkyl substituted with R¹, lower alkenyl substituted with R¹, and lower alkynyl substituted with R¹;
wherein R³ is selected from aryl unsubstituted or

- 5 substituted with one or more substituents independently selected from halo, -OR⁷, -SR⁷, -SO₂R⁷, -CO₂R⁷, -CONR⁷R⁷,
-COR⁷, -NR⁷R⁷, -SO₂NR⁷R⁷, -NR⁷C(O)OR⁷, -NR⁷C(O)R⁷,
optionally substituted cycloalkyl, optionally substituted heterocyclyl, optionally substituted phenyl, nitro,
10 alkylaminoalkoxyalkoxy, cyano, alkylaminoalkoxy, lower alkyl substituted with R¹, lower alkenyl substituted with R¹, and lower alkynyl substituted with R¹;
wherein R⁴ is selected from a direct bond, C₂₋₄-alkylenyl, C₂₋
4-alkylenyl and C₂₋₄-alkynyl, where one of the CH₂
15 groups may be substituted with an oxygen atom or an -NH-,
wherein R⁴ is optionally substituted with hydroxy;
wherein R⁵ is selected from H, lower alkyl, optionally substituted phenyl and lower aralkyl;
wherein R^{5a} is selected from H, lower alkyl, optionally
20 substituted phenyl and lower aralkyl;
wherein R⁶ is selected from H or C₁₋₆-alkyl; and
wherein R⁷ is selected from H, lower alkyl, optionally substituted phenyl, optionally substituted heterocyclyl,
optionally substituted C₃-C₆-cycloalkyl, optionally
25 substituted phenyl-C₁₋₆-alkyl, optionally substituted heterocyclyl-C₁₋₆-alkyl, optionally substituted C₃-C₆-cycloalkyl-C₁₋₆-alkyl, alkylaminoalkyl, and lower haloalkyl;
wherein R⁹ is selected from H, optionally substituted phenyl, optionally substituted 5-6 membered heterocyclyl
30 and optionally substituted C₃-C₆ cycloalkyl;
and pharmaceutically acceptable derivatives thereof;
provided R² is not 3-trifluoromethylphenyl when A is
pyridyl, when X is -C(O)NH-, when Y is -NH-CH₂-, when

- R¹ is H and R³ is 3-(N-methylamino-carbonyl)phenyl, 4-hydroxyphenyl, 3-hydroxyphenyl or phenyl; further provided R² is not substituted with -SO₂NR⁷R⁷ when Y is -NHSO₂-;
- 5 further provided R² is not 3-trifluoromethylphenyl when A is pyridyl, when X is -C(O)NH-, when Y is -N(benzyl)-CH₂-, when R¹ is H and when R³ is phenyl; further provided R² is not cyclohexyl when A is pyridyl, when X is -C(O)NH-, when Y is -NH-CH₂-, when R¹ is H and when R³ is 2-methoxyphenyl or 3-methoxyphenyl;
- 10 further provided R¹ is not 2-hydroxymethylpyrrol-5-yl when A is pyridyl; further provided R¹ is not 4-(methoxyaminocarbonylamino)phenyl when A is thienyl;
- 15 further provided R¹ is not 2-pyridylmethoxy when A is pyrimidyl, when X is -C(O)NH-, and when Y is -NH-CH₂-, further provided R¹ is not 4-methylpiperidyl when A is pyrimidyl, when X is -C(O)NH-, when Y is -NH-CH₂-, and when R³ is 3-chloro-4-methoxyphenyl;
- 20 further provided R¹ is not bromo when A is pyrimidyl, when X is -C(O)NH-CH₂-, when Y is -NH-CH₂-, and when R³ is 3-chloro-4-methoxyphenyl; further provided R² is not 2-chloro-3-pyridyl when A is pyridyl; and
- 25 further provided R² is not 2-methoxyphenyl when A is pyridyl, when X is -C(O)NH-, when Y is -NH-CH₂-, when R¹ is H and R³ is phenyl.
2. Compound of Claim 1 wherein A is selected from
- 30 thienyl, furanyl, pyrrolyl, thiazolyl, oxazolyl, imidazolyl, pyrazolyl, isoxazolyl, triazolyl, isothiazolyl, pyridyl, pyrazinyl, pyrimidinyl, pyridazinyl and triazinyl; wherein X is selected from

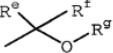


wherein Y is selected from



- 5 wherein R^a and R^b are independently selected from H, halo, and C₁₋₂-alkyl substituted with R¹, or wherein R^a and R^b together form C₃₋₄ cycloalkyl;
wherein R^z is C₂₋₃ alkylene, where one of the CH₂ groups may be replaced with an oxygen atom or an -NH-;
- 10 wherein R¹ is one or more substituents independently selected from H, halo, -OR⁷, oxo, -SR⁷, -CO₂R⁷, -CONR⁷R⁷, -COR⁷, -NR⁷R⁷, -SO₂NR⁷R⁷, -NR⁷C(O)OR⁷, -NR⁷C(O)R⁷, optionally substituted C₃₋₆-cycloalkyl, optionally substituted phenyl-C₁₋₄-alkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted 4-6 membered heterocyclyl-C₁₋₄-alkyl, C₁₋₆-alkyl, cyano, C₁₋₄-hydroxyalkyl, C₁₋₄-carboxyalkyl, nitro, C₂₋₃-alkenyl, C₂₋₃-alkynyl and C₁₋₄-haloalkyl;
- 15 wherein R² is selected from
substituted or unsubstituted aryl selected from phenyl, naphthyl, indanyl, indenyl and tetrahydronaphthyl, substituted or unsubstituted 5-6 membered heteroaryl, substituted or unsubstituted C₃₋₆-cycloalkyl and substituted or unsubstituted 9-10 membered bicyclic or
20 13-14 membered tricyclic saturated or partially unsaturated heterocyclyl
wherein substituted R² is substituted with one or more substituents independently selected from halo, -OR⁷, oxo,

-SR⁷, -SO₂R⁷, -CO₂R⁷, -CONR⁷R⁷, -COR⁷, -NR⁷R⁷, -NH(C₁-C₂-alkylenylR³), -(C₁-C₂-alkylenyl)NR⁷R⁷, -SO₂NR⁷R⁷, -NR⁷C(O)OR⁷, -NR⁷C(O)R⁷, C₁.C₆-alkylamino-C₁-C₆-alkoxy, C₁.C₆-alkylamino-C₁.C₆-alkoxy-C₁.C₆-alkoxy, halosulfonyl,

5 optionally substituted 4-6 membered heterocyclyl-carbonylalkyl, C₁-4-alkoxycarbonylamino-C₁-6-alkyl, R^e

, optionally substituted C₃-6-cycloalkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted phenyl, optionally substituted phenyl-C₁-6-alkylenyl, optionally substituted 4-6 membered heterocyclyl-C₁.C₆-alkylenyl, 4-6 membered heterocyclyl-C₂.C₆-alkenylenyl, C₁-4-alkyl, cyano, C₁-4-hydroxyalkyl, nitro and C₁-4-haloalkyl;

10 wherein R³ is phenyl substituted with one or more substituents independently selected from halo, -OR⁷, -SR⁷, -CO₂R⁷, -CONR⁷R⁷, -COR⁷, -NR⁷R⁷, -SO₂NR⁷R⁷, -NR⁷C(O)OR⁷, -NR⁷C(O)R⁷, C₃-6-cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C₁.C₄-alkyl, C₁.C₄-aminoalkyl, cyano, C₁.C₄-hydroxyalkyl, nitro and C₁.C₄-haloalkyl;

15 wherein R^{4a} is C₂-4-alkylenyl where one of the CH₂ groups may be replaced with an oxygen atom or -NH-, wherein R^{4a} is optionally substituted with hydroxy;

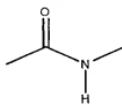
20 wherein R⁵ is selected from H and C₁.C₂-alkyl; wherein R^{5a} is selected from H and C₁.C₂-alkyl; and wherein R⁷ is selected from H, C₁-4-alkyl, optionally substituted phenyl, optionally substituted phenyl-C₁-4-alkyl, optionally substituted 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C₁.C₄-alkyl, optionally substituted C₃-C₆ cycloalkyl, C₁.C₂-alkylamino-C₁.C₄-alkyl and C₁.C₂-haloalkyl;

25 wherein R^e and R^f are independently selected from H and C₁.C₂-haloalkyl; and

wherein R^g is selected from H, C₁₋₆-alkyl, optionally substituted phenyl-C₁₋₆-alkyl, 4-6 membered heterocyclyl, optionally substituted 4-6 membered heterocyclyl-C_{1-C₆}-alkyl, C₁₋₄-alkoxy-C₁₋₄-alkyl and C₁₋₄-alkoxy-C₁₋₄-alkoxy-C₁₋₄-alkyl;

5 and pharmaceutically acceptable derivatives thereof.

3. Compound of Claim 2 wherein A is selected from



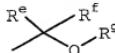
pyridyl and pyrimidinyl; wherein X is ; wherein

- 10 Y is -NH-CH₂-; wherein R¹ is one or more substituents independently selected from H, halo, hydroxy, C₁₋₂-alkoxy, C₁₋₂-haloalkoxy, amino, C₁₋₂-alkylamino, optionally substituted 5-6 membered heterocyclyl-C₁₋₂-alkylamino, aminosulfonyl, C₃₋₆-cycloalkyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, C₁₋₄-alkyl, cyano, C₁₋₂-hydroxyalkyl, C₁₋₃-carboxyalkyl, nitro, C₂₋₃-alkenyl, C₂₋₃-alkynyl and C₁₋₂-haloalkyl; wherein R² is unsubstituted or substituted and selected from phenyl, naphthyl, indanyl, indenyl and tetrahydronaphthyl,
- 15 20 substituted or unsubstituted 5-6 membered heteroaryl, C₃₋₆-cycloalkyl, and substituted or unsubstituted 9-10 membered bicyclic or 13-14 membered tricyclic heterocyclyl; wherein substituted R² is substituted with one or more substituents independently selected from halo, C₁₋₄-alkyl, optionally substituted C₃₋₆-cycloalkyl, optionally substituted phenyl, optionally substituted phenyl-C_{1-C₄}-alkylenyl, C₁₋₂-haloalkoxy, optionally substituted phenoxy, optionally substituted 5-6 membered heterocyclyl-C_{1-C₄}-alkylenyl, optionally substituted 5-6 membered heterocyclyl-C_{2-C₄}-alkylenyl,
- 25 30 alkylenyl, optionally substituted 5-6 membered heterocyclyl, optionally substituted 5-6 membered heterocycloloxy, optionally substituted 5-6 membered

heterocyclsulfonyl, optionally substituted 5-6 membered heterocyclamino, optionally substituted 5-6 membered heterocyclcarbonyl, optionally substituted 5-6 membered heterocycl-C₁₋₄-alkylcarbonyl, C₁₋₂-haloalkyl, C₁₋₄-

- 5 aminoalkyl, nitro, amino, hydroxy, cyano, aminosulfonyl, C₁₋₂-alkylsulfonyl, halosulfonyl, C₁₋₄-alkylcarbonyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkoxy, C₁₋₃-alkylamino-C₁₋₃-alkoxy-C₁₋₃-alkoxy, C₁₋₄-alkoxycarbonyl, C₁₋₄-

10 alkoxycarbonylamino-C₁₋₄-alkyl, C₁₋₄-hydroxyalkyl, and C₁₋₄-alkoxy; wherein R³ is phenyl substituted with one or



more substituents independently selected from halo, hydroxy, C₁₋₄-alkyl, C₁₋₂-alkoxy, optionally substituted 5-6 membered heterocycl-C₁₋₂-alkoxy, amino, C₁₋₂-alkylamino, aminosulfonyl, -NR³C(O)OR⁷, -NR³C(O)R⁷, C₃₋₆-cycloalkyl,

- 15 15 optionally substituted 5-6 membered heterocyclyl, optionally substituted phenyl, nitro, C₁₋₂-alkylamino-C₁₋₂-alkoxy-C₁₋₂-alkoxy, cyano, C₁₋₂-alkylamino-C₁₋₂-alkoxy, C₁₋₂-alkylamino-C₁₋₂-alkyl, C₁₋₂-alkylamino-C₂₋₃-alkynyl, C₁₋₂-hydroxyalkyl, C₁₋₂-aminoalkyl, C₁₋₂-haloalkyl, optionally substituted 5-6

- 20 20 membered heterocycl-C₂₋₃-alkenyl, and optionally substituted 5-6 membered heterocycl-C₂₋₃-alkynyl; and wherein R⁷ is selected from H, methyl, phenyl, cyclopropyl, cyclohexyl, benzyl, morpholinylmethyl, 4-

25 methylpiperazinylmethyl, 4-methylpiperdinylmethyl, 4-

- morpholinylmethyl, 4-morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, 1-piperdinylethyl, 1-piperdinylpropyl, 1-pyrrolidinylpropyl and trifluoromethyl; wherein R^e and R^f are independently -CF₃; and wherein R⁹ is selected from H, C₁₋₃-alkyl, optionally substituted phenyl-C₁₋₃-alkyl,

- 30 30 optionally substituted 5-6 membered heterocycl-C_{1-C₃}-alkyl, C₁₋₃-alkoxy-C₁₋₃-alkyl and C₁₋₃-alkoxy-C₁₋₃-alkoxy-C₁₋₃-alkyl; and pharmaceutically acceptable derivatives thereof.

4. Compound of Claim 3 wherein A is pyridyl; wherein R¹ is one or more substituents independently selected from H, chloro, and fluoro; wherein R² is selected from phenyl, tetrahydronaphthyl, indanyl, naphthyl, imidazolyl, oxazolyl, 5 furyl, pyrrolyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, cyclohexyl, 1,2-dihydroquinolyl, 1,2,3,4-tetrahydro-isoquinolyl, 1,2,3,4-tetrahydro-quinolyl, 2,3-dihydro-1H-indolyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-10 trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, 3,4-dihydro-2H-benzo[1,4]oxazinyl, and benzo[1,4]dioxanyl; wherein substituted R² is substituted with one or more substituents independently selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, Boc-aminoethyl, hydroxy, 15 aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, methylpiperazinylmethyl, morpholinylethyl, methylpiperazinylpropyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidinylmethyl, morpholinylpropyl, 20 methylpiperidinylmethyl, piperidinylethyl, piperidinylpropyl, pyrrolidinylpropyl, pyrrolidinylpropenyl, pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl, methylcarbonyl, piperidinylmethylcarbonyl, methylpiperazinylcarbonylethyl, methoxycarbonyl, 3- 25 ethoxycarbonyl-2-methyl-fur-5-yl, methylpiperazinyl, methylpiperidyl, 1-methyl-(1,2,3,6-tetrahydropyridyl), imidazolyl, morpholinyl, 4-trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl, ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl, trifluoromethyl, pentafluoroethyl, 30 nonafluorobutyl, dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-hydroxymethyl, trifluoromethoxy, 1,1-di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-hydroxyethyl, 1-aminoethyl, 2-aminoethyl, 1-35 (N-isopropylamino)ethyl, 2-(N-isopropylamino)ethyl,

dimethylaminoethoxy, 4-chlorophenoxy, phenoxy, 1-methylpiperidin-4-yloxy, isopropoxy, methoxy and ethoxy; and wherein R³ is phenyl substituted with one or more substituents selected from chloro, fluoro, bromo, hydroxy,
5 methoxy, ethoxy, amino, dimethylamino, diethylamino, 1-methylpiperidinylmethoxy, aminosulfonyl, cyclohexyl, dimethylaminopropynyl, dimethylaminoethoxy, 3-(4-morpholinyl)propyn-1-yl, dimethylaminoethoxyethoxy, optionally substituted piperidinyl, morpholinyl, optionally
10 substituted piperazinyl, optionally substituted phenyl, methyl, ethyl, propyl, cyano, hydroxymethyl, aminomethyl, nitro and trifluoromethyl; and pharmaceutically acceptable derivatives thereof.

15 5. Compound of Claim 1 and pharmaceutically acceptable derivatives thereof selected from

N-(4-Chlorophenyl)(3-[benzylamino](2-pyridyl)carboxamide;
N-(4-Chlorophenyl)(3-[(4-nitrophenyl)methyl]amino)(2-pyridyl)-carboxamide;
20 (2-[(4-methoxyphenyl)methyl]amino)(2-pyridyl)-N-(3-fluoro-4-methylphenyl)carboxamide;
(6-Chloro-2-[(4-methoxyphenyl)methyl]amino(3-pyridyl))-N-(3-fluoro-4-methylphenyl)carboxamide;
25 (6-Chloro-2-[(4-methoxyphenyl)methyl]amino(3-pyridyl))-N-(3-fluoro-4-methylphenyl)carboxamide ;
(6-Chloro-2-[(4-methoxyphenyl)methyl]amino(3-pyridyl))-N-(3-fluoro-4-methylphenyl)carboxamide, hydrochloride;
(6-Chloro-2-[(4-methoxyphenyl)methyl]amino)(3-pyridyl))-N-
30 (4-chlorophenyl)carboxamide;
2-(3-Fluoro-benzylamino)-N-(4-phenoxy-phenyl)-nicotinamide;
N-(4-Phenoxyphenyl)[2-((3-(trifluoromethyl)phenyl)methyl)amino](3-pyridyl)]formamide;

(2-[(4-Fluorophenyl)methyl]amino)(3-pyridyl))-N-(4-phenoxyphenyl)formamide;

N-(4-Phenoxyphenyl)[2-(([{4-(trifluoromethyl)phenyl}methyl]amino)(3-pyridyl)]formamide;

(2-[(2-Bromophenyl)methyl]amino)(3-pyridyl))-N-(4-phenoxyphenyl)formamide;

N-(4-Phenoxyphenyl)[2-(([{4-(trifluoromethoxy)phenyl}methyl]amino)(3-pyridyl)]formamide;

2-(([(2,3-Difluorophenyl)methyl]amino)(3-pyridyl))-N-(4-phenoxyphenyl)formamide;

N-(4-Chlorophenyl)(2-(([(4-cyanophenyl)methyl]amino)(3-pyridyl))carboxamide;

N-(4-Chlorophenyl)(2-(([(2-cyanophenyl)methyl]amino)(3-pyridyl))carboxamide;

N-(4-sec-butylphenyl)-2-[(4-fluorobenzyl)amino]nicotinamide;

N-(4-tert-Butylphenyl)-2-[(4-fluorobenzyl)amino]nicotinamide;

N-(4-Isopropyl-phenyl)-2-(3-methoxy-benzylamino)-nicotinamide;

(2-(([(4-Fluorophenyl)methyl]amino)(3-pyridyl))-N-[4-(methylethyl)phenyl]carboxamide;

(2-(([(4-Fluorophenyl)methyl]amino)(3-pyridyl))-N-[3-(trifluoromethyl)phenyl]carboxamide;

(2-(([(3,4-Dimethoxyphenyl)methyl]amino)(3-pyridyl))-N-[3-(trifluoromethyl)phenyl]carboxamide;

{2-[Benzylamino](3-pyridyl)}-N-[3-(trifluoromethyl)phenyl]carboxamide;

(2-(([(3-Chlorophenyl)methyl]amino)(3-pyridyl))-N-[3-(trifluoromethyl)phenyl]carboxamide;

(2-(([(4-Bromophenyl)methyl]amino)(3-pyridyl))-N-[3-(trifluoromethyl)phenyl]carboxamide;

(2-{{(4-Chlorophenyl)methyl}amino}(3-pyridyl))-N-[3-(trifluoromethyl)phenyl]carboxamide;

(2-{{(2,4-Difluorophenyl)methyl}amino}(3-pyridyl))-N-[3-(trifluoromethyl)phenyl]carboxamide;

5 (2-{{(4-Fluorophenyl)ethyl}amino}(3-pyridyl))-N-[3-(trifluoromethyl)phenyl]carboxamide;

(2-{{(3,4-Difluorophenyl)methyl}amino}(3-pyridyl))-N-[3-(trifluoromethyl)phenyl]carboxamide;

(2-{{(2,3-Difluorophenyl)methyl}amino}(3-pyridyl))-N-[3-(trifluoromethyl)phenyl]carboxamide;

10 (2-{{(2-Fluorophenyl)methyl}amino}(3-pyridyl))-N-[3-(trifluoromethyl)phenyl]carboxamide;

(2-{{(2,6-Difluorophenyl)methyl}amino}(3-pyridyl))-N-[3-(trifluoromethyl)phenyl]carboxamide;

15 (2-{{(3-Bromophenyl)methyl}amino}(3-pyridyl))-N-[3-(trifluoromethyl)phenyl]carboxamide;

(2-{{(4-Fluorophenyl)methyl}amino}(3-pyridyl))-N-[4-(trifluoromethyl)phenyl]carboxamide;

N-[3-[3-(Dimethylamino)propyl]-5-(trifluoromethyl)phenyl](2-

20 {{(4-fluorophenyl)methyl}amino}(3-pyridyl))carboxamide;

{2-{{(3-[3-(Dimethylamino)propyl]-4-

fluorophenyl)methyl}amino}(3-pyridyl))-N-[4-(tert-

butyl)phenyl]carboxamide;

{2-{{(3-[3-(Dimethylamino)propyl]-4-

25 fluorophenyl)methyl}amino}(3-pyridyl))-N-[4-(trifluoromethyl)phenyl]carboxamide;

{2-{{(3-[3-(Dimethylamino)propyl]-4-

fluorophenyl)methyl}amino}(3-pyridyl))-N-(4-bromo-2-

fluorophenyl)carboxamide;

30 2-[(4-Fluorobenzyl)amino]-N-[4-tert-butyl-3-(1,2,3,6-

tetrahydropyridin-4-yl)phenyl]nicotinamide;

[2-{{(4-Fluoro-3-(3-morpholin-4-ylprop-1-

ynyl)phenyl)methyl}amino}(3-pyridyl)]-N-[3-(trifluoromethyl)phenyl]carboxamide;

{2-[(2H-Benzo[d]1,3-dioxol-5-ylmethyl)amino](3-pyridyl))-N-(4-phenoxyphenyl)carboxamide;

2-(4-Fluoro-benzylamino)-N-[3-(2-pyrrolidin-1-yl-ethoxy)-4-trifluoromethyl-phenyl]-nicotinamide;

5 2-(4-Fluoro-benzylamino)-N-[3-(1-Boc-pyrrolidin-2-ylmethoxy)-4-pentafluoroethyl-phenyl]-nicotinamide;

N-[4-tert-Butyl-3-(1-Boc-piperidin-4-ylmethoxy)-phenyl]-2-(4-fluoro-benzylamino)-nicotinamide;

N-[3,3-Dimethyl-1-(1-methyl-piperidin-4-yl)-2,3-dihydro-1H-10 indol-6-yl]-2-(4-fluoro-benzylamino)-nicotinamide;

N-[1-(2-Dimethylamino-acetyl)-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl]-2-(4-fluoro-benzylamino)-nicotinamide;

N-[1-(1-Boc-piperidin-4-yl)-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl]-2-(4-fluoro-benzylamino)-nicotinamide;

15 N-[3,3-Dimethyl-1-(2-Boc-amino-acetyl)-2,3-dihydro-1H-indol-6-yl]-2-(4-fluoro-benzylamino)-nicotinamide;

2-(4-Fluoro-benzylamino)-N-(2-Boc-4,4-dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-nicotinamide;

N-[3-(1-Boc-pyrrolidin-2-ylmethoxy)-5-trifluoromethyl-20 phenyl]-2-(4-fluoro-benzylamino)-nicotinamide;

N-[4-tert-Butyl-3-(1-Boc-pyrrolidin-2-ylmethoxy)-phenyl]-2-(4-fluoro-benzylamino)-nicotinamide;

N-(4-Acetyl-2,2-dimethyl-3,4-dihydro-2H-benzo[1,4]oxazin-6-yl)-2-(4-fluoro-benzylamino)-nicotinamide;

25 2-(4-Fluoro-benzylamino)-N-[3-(1-Boc-piperidin-4-ylmethoxy)-5-trifluoromethyl-phenyl]-nicotinamide.;

2-(4-Fluoro-benzylamino)-N-[3-(pyrrolidin-2-ylmethoxy)-4-pentafluoroethyl-phenyl]-nicotinamide;

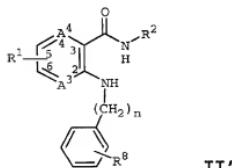
2-(4-Fluoro-benzylamino)-N-[3-(pyrrolidin-2-ylmethoxy)-5-trifluoromethyl-phenyl]-nicotinamide;

30 N-[4-tert-Butyl-3-(piperidin-4-ylmethoxy)-phenyl]-2-(4-fluoro-benzylamino)-nicotinamide;

N-[4-tert-Butyl-3-(pyrrolidin-2-ylmethoxy)-phenyl]-2-(4-fluoro-benzylamino)-nicotinamide;

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- N-(4,4-Dimethyl-1,2,3,4-tetrahydro-isoquinolin-7-yl)-2-(4-fluoro-benzylamino)-nicotinamide;
- N-[1-(2-Amino-acetyl)-3,3-dimethyl-2,3-dihydro-1H-indol-6-yl]-2-(4-fluoro-benzylamino)-nicotinamide;
- 5 N-(3,3-Dimethyl-1-piperidin-4-yl-2,3-dihydro-1H-indol-6-yl)-2-(4-fluoro-benzylamino)-nicotinamide;
- 2-(4-Fluoro-benzylamino)-N-[3-(piperidin-4-ylmethoxy)-5-trifluoromethyl-phenyl]-nicotinamide;
- N-(2,2-Dimethyl-3,4-dihydro-2H-benzo[1,4]oxazin-6-yl)-2-(4-fluoro-benzylamino)-nicotinamide;
- 10 2-(4-Fluoro-benzylamino)-N-[3-(1-methyl-pyrrolidin-2-ylmethoxy)-5-trifluoromethyl-phenyl]-nicotinamide;
- N-[3,3-Dimethyl-1-(1-methyl-piperidin-4-ylmethyl)-2,3-dihydro-1H-indol-6-yl]-2-(4-fluoro-benzylamino)-nicotinamide;
- 15 2-(4-Fluoro-benzylamino)-N-{4-[1-methyl-1-(1-methyl-piperidin-4-yl)-ethyl]-phenyl}-nicotinamide;
- N-(4,4-Dimethyl-2-oxo-1,2,3,4-tetrahydro-quinolin-7-yl)-2-(4-fluoro-benzylamino)-nicotinamide; and
- 20 3-Benzo[1,3]dioxol-5-yl-3-[3-(4-pentafluoroethyl-phenylcarbamoyl)-pyridin-2-ylamino]-propionic acid.

6. Compound of Claim 1 of formula II'



wherein each of A³ and A⁴ is independently CH or N, provided at least one of A³ and A⁴ is N;
wherein n is 1-2;

wherein R¹ is one or more substituents independently selected from H, chloro, fluoro, bromo, hydroxy, methoxy, ethoxy, trifluoromethoxy, oxo, amino, dimethylamino, aminosulfonyl, carboxymethyl, cyclopropyl, optionally substituted phenyl, methyl, ethyl, propyl, cyano,

5 hydroxymethyl, nitro, propenyl, propynyl, morpholinylethylamino, trifluoromethyl and unsubstituted or substituted heteroaryl selected from thienyl, furanyl, pyridyl, imidazolyl and pyrazolyl;

10 wherein R² is selected from a substituted or unsubstituted ring selected from phenyl, tetrahydronaphthyl, indanyl, benzodioxolyl, indenyl, naphthyl, isoxazolyl, pyrazolyl, thiazolyl, thiadiazolyl, thienyl, pyridyl, pyrimidinyl, pyridazinyl, 1,2-dihydroquinolyl, 1,2,3,4-tetrahydro-isoquinolyl, 1,2,3,4-tetrahydro-quinolyl, isoquinolyl, quinolyl, indolyl, isoindolyl, 2,3-dihydro-1H-indolyl, naphthyridinyl, quinozalinyl, 2,3,4,4a,9,9a-hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-triazolo[3,4-a]isoquinolyl, indazolyl, 2,1,3-benzothiadiazolyl, 3,4-dihydro-2H-benzo[1,4]oxazinyl, benzodioxanyl, benzothienyl, benzofuryl, benzimidazolyl, benzoxazolyl and benzthiazolyl;

25 wherein substituted R² is substituted with one or more substituents independently selected from bromo, chloro, fluoro, iodo, nitro, amino, cyano, aminoethyl, Boc-aminoethyl, hydroxy, oxo, aminosulfonyl, 4-methylpiperazinylsulfonyl, cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, 1-methylpiperazin-4-ylmethyl, 1-methylpiperazin-4-ylpropyl, morpholinylpropyl, piperidin-1-ylmethyl, 1-methylpiperidin-4-ylmethyl, 2-methyl-2-(1-methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-morpholinyl)-2,2-dimethylpropyl, piperidin-4-yethethyl, 1-Boc-piperidin-4-yethethyl, piperidin-1-yethethyl, 1-Boc-

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5 piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-
piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-
piperidin-4-ylpropyl, piperidin-1-ylpropyl,
pyrrolidin-1-ylpropyl, pyrrolidin-2-ylpropyl, 1-Boc-
pyrrolidin-2-ylpropyl, pyrrolidin-1-ylmethyl,
pyrrolidin-2-ylmethyl, 1-Boc-pyrrolidin-2-ylmethyl,
pyrrolidinylpropenyl, pyrrolidinylbutenyl,
fluorosulfonyl, methylsulfonyl, methylcarbonyl, Boc,
10 piperidin-1-ylmethylcarbonyl, 4-methylpiperazin-1-
ylcarbonylethyl, methoxycarbonyl, aminomethylcarbonyl,
dimethylaminomethylcarbonyl, 3-ethoxycarbonyl-2-
methyl-fur-5-yl, 4-methylpiperazin-1-yl, 4-methyl-1-
piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-
methylpiperidin-4-yl, 1-methyl-(1,2,3,6-
15 tetrahydropyridyl), imidazolyl, morpholinyl, 4-
trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl,
ethyl, propyl, isopropyl, butyl, tert-butyl, sec-
butyl, trifluoromethyl, pentafluoroethyl,
nonafluorobutyl, dimethylaminopropyl, 1,1-
20 di(trifluoromethyl)-1-hydroxymethyl, 1,1-
di(trifluoromethyl)-1-(piperidinylethoxy)methyl, 1,1-
di(trifluoromethyl)-1-(methoxyethoxyethoxy)methyl, 1-
hydroxyethyl, 2-hydroxyethyl, trifluoromethoxy, 1-
aminoethyl, 2-aminoethyl, 1-(N-isopropylamino)ethyl,
25 2-(N-isopropylamino)ethyl, dimethylaminoethoxy, 4-
chlorophenoxy, phenoxy, azetidin-3-ylmethoxy, 1-Boc-
azetidin-3-ylmethoxy, pyrrol-2-ylmethoxy, 1-Boc-
pyrrol-2-ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-
pyrrol-2-ylmethoxy, 1-isopropyl-pyrrol-2-ylmethoxy, 1-
30 Boc-piperdin-4-ylmethoxy, piperdin-4-ylmethoxy, 1-
methylpiperdin-4-yloxy, isopropoxy, methoxy and
ethoxy; and

wherein R^a is one or more substituents independently
selected from H, chloro, fluoro, bromo, hydroxy, methoxy,

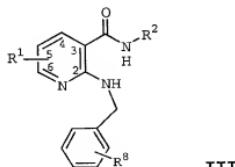
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ethoxy, -O-CH₂-O-, trifluoromethoxy, 1-methylpiperidinylmethoxy, dimethylaminoethoxy, amino, dimethylamino, dimethylaminopropyl, diethylamino, aminosulfonyl, cyclohexyl, dimethylaminopropynyl, 3-(4-morpholinyl)propyn-1-yl, dimethylaminoethoxyethoxy, 3-(4-morpholinyl)propylamino, optionally substituted piperidinyl, morpholinyl, optionally substituted piperazinyl, optionally substituted phenyl, methyl, ethyl, propyl, cyano, hydroxymethyl, aminomethyl, nitro and trifluoromethyl;

10 provided R² is not 3-trifluoromethylphenyl when A³ is N, when A⁴ is CH, when n is 1, when R¹ is H and R⁸ is 4-hydroxy, 3-hydroxy or H; further provided R² is not 2-chloro-3-pyridyl when A³ is N, when A⁴ is CH, when n is 1, when R¹ is H and R⁸ is H or 4-methoxy; and further provided R² is not 2-methoxyphenyl when A³ is N, when A⁴ is CH, when n is 1, when R¹ is H and R⁸ is H.

15

20 7. Compound of Claim 1 of Formula III



wherein R¹ is one or more substituents independently selected from

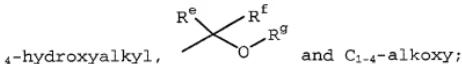
25 H,
halo,
hydroxy,
amino,
C₁₋₆-alkyl,
C₁₋₆-haloalkyl,

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1
0

C₁₋₆-alkoxy,
C₁₋₂-alkylamino,
aminosulfonyl,
C₃₋₆-cycloalkyl,
5 cyano,
oxo,
C₁₋₂-hydroxyalkyl,
nitro,
C₂₋₃-alkenyl,
10 C₂₋₃-alkynyl,
C₁₋₆-haloalkoxy,
C₁₋₆-carboxyalkyl,
5-6-membered heterocyclyl-C₁₋₆-alkylamino,
unsubstituted or substituted phenyl and
15 unsubstituted or substituted 5-6 membered
heterocyclyl;
wherein R² is selected from unsubstituted or substituted
phenyl, and
9-10 membered bicyclic and 13-14 membered
20 tricyclic unsaturated or partially
unsaturated heterocyclyl,
wherein substituted R² is optionally substituted with one or
more substituents selected from halo, C₁₋₆-alkyl,
optionally substituted C₃₋₆-cycloalkyl, optionally
25 substituted phenyl, optionally substituted phenyl-C₁-
C₄-alkyl, C₁₋₂-haloalkoxy, optionally substituted
phenyloxy, optionally substituted 4-6 membered
heterocyclyl-C₁-C₄-alkyl, optionally substituted 4-6
membered heterocyclyl-C₂-C₄-alkenyl, optionally
30 substituted 5-6 membered heterocyclyl, optionally
substituted 4-6 membered heterocyclxyloxy, optionally
substituted 4-6 membered heterocyclyl-C₁-C₄-alkoxy,
optionally substituted 5-6 membered
heterocyclsulfonyl, optionally substituted 5-6

membered heterocyclylamino, optionally substituted 5-6 membered heterocyclylcarbonyl, optionally substituted 5-6 membered heterocyclylcarbonyl-C₁₋₄-alkyl,
optionally substituted 5-6 membered heterocyclyl-C₁₋₄-alkylcarbonyl, C₁₋₄-haloalkyl, C₁₋₄-aminoalkyl, nitro, 5
amino, hydroxy, oxo, cyano, aminosulfonyl, C₁₋₂-alkylsulfonyl, halosulfonyl, C₁₋₄-alkylcarbonyl, amino-C₁₋₄-alkylcarbonyl, C₁₋₄-alkylamino-C₁₋₄-alkylcarbonyl, C₁₋₃-alkylamino-C₁₋₃-alkyl, C₁₋₃-alkylamino-C₁₋₃-alkoxy, 10
C₁₋₃-alkylamino-C₁₋₃-alkoxy-C₁₋₃-alkoxy, C₁₋₄-alkoxycarbonyl, C₁₋₄-alkoxycarbonylamino-C₁₋₄-alkyl, C₁₋



and C₁₋₄-alkoxy; wherein R^e and R^f are independently selected from H and C₁₋₂-haloalkyl;

15 wherein R⁷ is selected from H, C₁₋₃-alkyl, optionally substituted phenyl-C₁₋₃-alkyl, 4-6 membered heterocyclyl, and optionally substituted 4-6 membered heterocyclyl-C_{1-C₃}-alkyl;

wherein R⁸ is selected from H, C₁₋₃-alkyl, optionally substituted phenyl-C₁₋₃-alkyl, 4-6 membered heterocyclyl, and optionally substituted 4-6 membered heterocyclyl-C_{1-C₃}-alkyl, C₁₋₃-alkoxy-C₁₋₂-alkyl and C₁₋₃-alkoxy-C₁₋₃-alkoxy-C₁₋₃-alkyl; and 20

wherein R⁸ is one or more substituents independently selected from H, halo, amino, hydroxy, C₁₋₆-alkyl, C₁₋₆-haloalkyl, C₁₋₆-alkoxy, C₁₋₆-haloalkoxy, C₁₋₆-aminoalkyl, C₁₋₆-hydroxyalkyl, optionally substituted phenyl, optionally substituted heterocyclyl, optionally substituted heterocyclyl-C₁₋₆-alkoxy, aminosulfonyl, C₃₋₆-cycloalkyl, 25
C₁₋₆-alkylamino, C₁₋₆-alkylamino-C₁₋₆-alkyl, optionally substituted heterocyclyl-C₁₋₆-alkylamino, optionally substituted heterocyclyl-C₁₋₆-alkynyl, C₁₋₆-alkylamino-C₂₋₄-alkynyl, C₁₋₆-alkylamino-C₁₋₆-alkoxy, C₁₋₆-alkylamino-C₁₋₆-

alkoxy-C₁₋₆-alkoxy, and optionally substituted heterocyclyl-C₂₋₄-alkynyl;
and pharmaceutically acceptable isomers and derivatives thereof;

5 provided R² is not 3-trifluoromethylphenyl when R¹ is H and
R⁸ is 4-hydroxy, 3-hydroxy or H; and further provided
R² is not 2-methoxyphenyl when R¹ is H and R⁸ is H.

8. Compound of Claim 7 wherein R¹ is selected from H,
10 chloro, fluoro, bromo, amino, hydroxy, methyl, ethyl,
propyl, oxo, dimethylamino, aminosulfonyl, cyclopropyl,
cyano, hydroxymethyl, nitro, propenyl, trifluoromethyl,
methoxy, ethoxy, trifluoromethoxy, carboxymethyl,
morpholinylethylamino, propynyl, unsubstituted or
15 substituted phenyl and unsubstituted or substituted
heteroaryl selected from thienyl,
furanyl, pyridyl, imidazolyl, and pyrazolyl;
wherein R² is selected from phenyl, 1,2-dihydroquinolyl,
1,2,3,4-tetrahydro-isouquinolyl, 1,2,3,4-tetrahydro-
20 quinolyl, 2,3-dihydro-1H-indolyl, 2,3,4,4a,9,9a-
hexahydro-1H-3-aza-fluorenyl, 5,6,7-trihydro-1,2,4-
triazolo[3,4-a]isoquinolyl, 3,4-dihydro-2H-
benzo[1,4]oxazinyl, and benzo[1,4]dioxanyl, where R² is
unsubstituted or substituted with one or more
25 substituents selected from bromo, chloro, fluoro, iodo,
nitro, amino, cyano, aminoethyl, Boc-aminoethyl, hydroxy,
oxo, aminosulfonyl, 4-methylpiperazinylsulfonyl,
cyclohexyl, phenyl, phenylmethyl, morpholinylmethyl, 1-
methylpiperazin-4-ylmethyl, 1-methylpiperazin-4-ylpropyl,
30 morpholinylpropyl, piperidin-1-ylmethyl, 1-
methylpiperidin-4-ylmethyl, 2-methyl-2-(1-
methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-
morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-
Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-
35 piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-

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5 piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-
piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-
ylpropyl, pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-
ylpropyl, pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl,
10 1-Boc-pyrrolidin-2-ylmethyl, pyrrolidinylpropenyl,
pyrrolidinylbutenyl, fluorosulfonyl, methylsulfonyl,
methylcarbonyl, Boc, piperidin-1-ylmethylcarbonyl, 4-
methylpiperazin-1-ylcarbonylethyl, methoxycarbonyl,
aminomethylcarbonyl, dimethylaminomethylcarbonyl, 3-
ethoxycarbonyl-2-methyl-fur-5-yl, 4-methylpiperazin-1-yl,
4-methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl,
1-methylpiperidin-4-yl, 1-methyl-(1,2,3,6-
tetrahydropyridyl), imidazolyl, morpholinyl, 4-
trifluoromethyl-1-piperidinyl, hydroxybutyl, methyl,
15 ethyl, propyl, isopropyl, butyl, tert-butyl, sec-butyl,
trifluoromethyl, pentafluoroethyl, nonafluorobutyl,
dimethylaminopropyl, 1,1-di(trifluoromethyl)-1-
hydroxymethyl, 1,1-di(trifluoromethyl)-1-
(piperidinylethoxy)methyl, 1,1-di(trifluoromethyl)-1-
20 (methoxyethoxyethoxy)methyl, 1-hydroxyethyl, 2-
hydroxyethyl, trifluoromethoxy, 1-aminoethyl, 2-
aminoethyl, 1-(N-isopropylamino)ethyl, 2-(N-
isopropylamino)ethyl, dimethylaminoethoxy, 4-
chlorophenoxy, phenoxy, azetidin-3-ylmethoxy, 1-Boc-
25 azetidin-3-ylmethoxy, pyrrol-2-ylmethoxy, 1-Boc-pyrrol-2-
ylmethoxy, pyrrol-1-ylmethoxy, 1-methyl-pyrrol-2-
ylmethoxy, 1-Boc-piperdin-4-ylmethoxy, piperdin-4-
ylmethoxy, 1-methylpiperdin-4-yloxy, isopropoxy, methoxy
and ethoxy; and
30 wherein R⁸ is one or more substituents independently
selected from H, chloro, fluoro, bromo, hydroxy, methoxy,
ethoxy, -O-CH₂-O-, trifluoromethoxy, 1-
methylpiperidinylmethoxy, dimethylaminoethoxy, amino,
dimethylamino, dimethylaminopropyl, diethylamino,

aminosulfonyl, cyclohexyl, dimethylaminopropynyl, 3-(4-morpholinyl)propyn-1-yl, dimethylaminoethoxyethoxy, 3-(4-morpholinyl)propylamino, optionally substituted piperidinyl, morpholinyl, optionally substituted 5 piperazinyl, optionally substituted phenyl, methyl, ethyl, propyl, cyano, hydroxymethyl, aminomethyl and trifluoromethyl; and pharmaceutically acceptable derivatives thereof.

10 9. Compound of Claim 8 wherein R¹ is selected from H, chloro or fluoro;

wherein R² is selected from

1,2,3,4-tetrahydro-isooquinolyl optionally substituted with one or more substituents selected from methyl, 15 and Boc,

1,2,3,4-tetrahydro-quinolyl optionally substituted with one or more substituents selected from methyl, Boc and oxo,

2,3-dihydro-1H-indolyl optionally substituted with one or 20 more substituents selected from methyl, 1-Boc-piperidin-4-ylmethyl, piperidin-4-ylmethyl, 1-Boc-piperidin-4-yl, piperidin-4-yl, 1-methyl-piperidin-4-ylmethyl, 1-methyl-piperidin-4-yl, dimethylaminomethylcarbonyl, aminomethylcarbonyl,

25 methylcarbonyl, pyrrolidin-2-ylmethyl, and 1-Boc-pyrrolidin-2-ylmethyl, and

3,4-dihydro-2H-benzo[1,4]oxazinyl optionally substituted with one or more substituents selected from methyl, and methylcarbonyl; and

30 wherein R⁸ is one or more substituents independently selected from H, chloro, fluoro, bromo, cyano, methoxy, -O-CH₂-O-, amino, trifluoromethyl, trifluoromethoxy, 3-(4-morpholinyl)propyn-1-yl, dimethylaminopropyl, and 3-(4-morpholinyl)propylamino;

and pharmaceutically acceptable derivatives thereof.

10. Compound of Claim 8 wherein R¹ is selected from H,
chloro or fluoro;
- 5 wherein R² is selected from phenyl optionally substituted
with one or more substituents selected from bromo,
chloro, fluoro, morpholinylmethyl, 1-methylpiperazin-4-
ylmethyl, 1-methylpiperazin-4-ylpropyl,
morpholinylpropyl, piperidin-1-ylmethyl, 1-
10 methylpiperidin-4-ylmethyl, 2-methyl-2-(1-
methylpiperidin-4-yl)ethyl, morpholinylethyl, 1-(4-
morpholinyl)-2,2-dimethylpropyl, piperidin-4-ylethyl, 1-
Boc-piperidin-4-ylethyl, piperidin-1-ylethyl, 1-Boc-
piperidin-4-ylethyl, piperidin-4-ylmethyl, 1-Boc-
15 piperidin-4-ylmethyl, piperidin-4-ylpropyl, 1-Boc-
piperidin-4-ylpropyl, piperidin-1-ylpropyl, pyrrolidin-1-
ylpropyl, pyrrolidin-2-ylpropyl, 1-Boc-pyrrolidin-2-
ylpropyl, pyrrolidin-1-ylmethyl, pyrrolidin-2-ylmethyl,
1-Boc-pyrrolidin-2-ylmethyl, 4-methylpiperazin-1-yl, 4-
20 methyl-1-piperidyl, 1-Boc-4-piperidyl, piperidin-4-yl, 1-
methyl-(1,2,3,6-tetrahydropyridyl), methyl, ethyl,
propyl, isopropyl, butyl, tert-butyl, sec-butyl,
trifluoromethyl, pentafluoroethyl, dimethylaminopropyl,
dimethylaminoethoxy, 4-chlorophenoxy, phenoxy,
25 azetidin-3-ylmethoxy, 1-Boc-azetidin-3-ylmethoxy, pyrrol-
1-ylethoxy, 1-methyl-pyrrol-2-ylmethoxy, pyrrol-2-
ylmethoxy, 1-Boc-pyrrol-2-ylmethoxy, 1-Boc-piperidin-4-
ylmethoxy, piperidin-4-ylmethoxy, and 1-methylpiperidin-4-
yloxy;
30 and wherein R⁸ is one or more substituents independently
selected from H, chloro, fluoro, bromo, cyano, methoxy, -
O-CH₂-O-, amino, trifluoromethyl, trifluoromethoxy, 3-(4-
morpholinyl)propyn-1-yl, dimethylaminopropyl, and 3-(4-
morpholinyl)propylamino;

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and pharmaceutically acceptable derivatives thereof.

11. A pharmaceutical composition comprising a
pharmaceutically-acceptable carrier and a compound as in any
5 of Claims 1-10.

12. A method of treating cancer in a subject, said
method comprising administering an effective amount of a
compound as in any of Claims 1-10.

10

13. The method of Claim 12 comprising a combination
with a compound selected from antibiotic-type agents,
alkylating agents, antimetabolite agents, hormonal agents,
immunological agents, interferon-type agents and
15 miscellaneous agents.

14. A method of treating angiogenesis in a subject,
said method comprising administering an effective amount of
a compound as in any of Claims 1-10.

20

15. A compound as in any of Claims 1-10 for use in a
method of therapeutic treatment for the human or animal
body.

25

16. A method of treating KDR-related disorders in a
mammal, said method comprising administering an effective
amount of a compound as in any of Claims 1-10.

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17. A method of treating proliferation-related
disorders in a mammal, said method comprising administering
an effective amount of a compound as in any of Claims 1-10.

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